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FULL ESTIMATED COST

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chain nodes :

10 11 18 19 20 21 22 23 24 25 26 27

ring nodes :

1 2 3 4 5 6 7 8 9 12 13 14 15 16 17

chain bonds :

1-10 3-22 7-20 8-21 10-11 11-12 13-18 17-19 22-23 22-27 23-24 24-25 25-26

ring bonds:

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 12-13 12-17 13-14 14-15 15-16 16-17

exact/norm bonds:

1-2 1-6 1-10 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 10-11 22-23 22-27 23-24 25-26

exact bonds:

3-22 7-20 8-21 11-12 13-18 17-19 24-25 normalized bonds:

12-13 12-17 13-14 14-15 15-16 16-17

## Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS 11:CLASS 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:CLASS 19:CLASS 20:CLASS 21:CLASS 22:CLASS 23:CLASS 24:CLASS 25:CLASS 26:CLASS 27:CLASS

## L1 STRUCTURE UPLOADED

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Structure attributes must be viewed using STN Express query preparation.

=> s l1 exact full FULL SEARCH INITIATED 18:25:16 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 22 TO ITERATE

100.0% PROCESSED 22 ITERATIONS 2 ANSWERS SEARCH TIME: 00.00.01

L2 2 SEA EXA FUL L1

=> file capl
COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST 60.31 60.52

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L3 9 L2

=> d 13 1-9 ibib abs hitstr

L3 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:410774 CAPLUS

DOCUMENT NUMBER: 146:421985

TITLE: Preparation of isotopically substituted (deuterated)

(fused) imidazopyridines for the treatment of

gastrointestinal disorders

INVENTOR(S): Kohl, Bernhard; Zimmermann, Peter Jan; Zech, Karl;

Buhr, Wilm; Palmer, Andreas; Brehm, Christof; Chiesa, Maria Vittoria; Kromer, Wolfgang; Postius, Stefan; Simon, Wolfgang-Alexander; Holst, Hans Christof

PATENT ASSIGNEE(S): Altana Pharma AG, Germany

SOURCE: PCT Int. Appl., 62pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PAT	PATENT NO.					KIND DATE				APPLICATION NO.						DATE			
WO	2007	0394	 64		A1	_	2007	0412	,	WO 2	006-	 EP66	 544		2	00609	920		
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		GE,	GH,	GM,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KM,	KN,	ΚP,		
		KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,		
		MW,	MX,	MY,	MZ,	NA,	NG,	NΙ,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RS,		
		RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,		
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	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,		
		IS,	ΙΤ,	LT,	LU,	LV,	MC,	ΝL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,		
		CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	ΤG,	BW,	GH,		

GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,

KG, KZ, MD, RU, TJ, TM PRIORITY APPLN. INFO.:

EP 2005-108764 EP 2006-101701 A 20050922 A 20060215

OTHER SOURCE(S):

MARPAT 146:421985

GΙ

Title compds. [I; R1 = H, alkyl, cycloalkyl, cycloalkylalkyl, alkoxy, AB alkoxyalkyl, alkoxycarbonyl, alkenyl, alkynyl, fluoroalkyl, hydroxyalkyl; R2 = H, alkyl, cycloalkyl, cycloalkylalkyl, alkoxycarbonyl, hydroxyalkyl, halo, alkenyl, alkynyl, fluoroalkyl, cyanomethyl; R3 = H, halo, alkyl, fluoroalkyl, CO2H, alkoxycarbonyl, hydroxyalkyl, alkoxyalkyl, fluoroalkoxyalkyl, etc.; R4, R5 = H, R6 = (substituted) Ph; or R4R5 = CHR7CHR8; R7, R8 = H, OH, alkoxy, cycloalkoxy, cycloalkylalkoxy, alkoxyalkoxy, fluoroalkoxy, hydroxyalkoxy, etc.; or R4 = H, R5R6 = Q1; Z = CHR11, CHR11CHR12; R9 = H, alkyl, hydroxyalkyl, alkoxy, alkenyloxy, aryloxy, etc.; R10 = H, alkyl, alkoxy,, alkoxycarbonyl, halo, CF3, OH; R11, R12 = H, alkyl, alkenyl, OH, alkoxy, alkylcarbonylamino, etc.; X = O, NH;  $\geq 1$  of the H atoms of R1-R6 or of the core structure is replaced with D], were prepared Thus, Me 8-[(2,6-dimethylphenyl)dideuteromethylamino ]-2,3-dimethylimidazo[1,2-a]pyridine-6-carboxylate (preparation given) was heated 1 h with ethanolamine to give 73% 8-[(2,6dimethylphenyl)dideuteromethylamino]-N-(2-hydroxyethyl)-2,3dimethylimidazo-6-carboxamide. The latter inhibited H+/K+-ATPase with -lg IC50 = 6.0.

IT 934248-01-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(claimed compound; preparation of isotopically substituted (deuterated) (fused) imidazopyridines for the treatment of gastrointestinal disorders)

RN 934248-01-8 CAPLUS

CN Imidazo[1,2-a]pyridine-6-carboxamide, 8-[[(2,6-dimethylphenyl)methyl-d2]amino]-N-(2-hydroxyethyl)-2,3-dimethyl- (CA INDEX NAME)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:1173242 CAPLUS

DOCUMENT NUMBER: 145:489255

TITLE: Preparation of mutual prodrug compounds for use as

antiinflammatory agents with gastrointestinal

protective activity

INVENTOR(S): Brehm, Christof; Klein, Thomas; Buhr, Wilm; Chiesa,

Maria Vittoria; Palmer, Andreas; Zimmermann, Peter Jan; Simon, Wolfgang-Alexander; Kromer, Wolfgang;

Postius, Stefan; Grundler, Gerhard

PATENT ASSIGNEE(S): Altana Pharma A.-G., Germany

SOURCE: PCT Int. Appl., 70pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

P	PATENT NO.					KIND DATE			APPLICATION NO.						DATE			
W	10	2006	1173	15		A1		2006	1109	Ī	wo 2	006-	EP61	850		2	0060	426
		W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
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			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KM,	KN,	KP,	KR,
			KΖ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX,
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			SG,	SK,	SL,	SM,	SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,
	VN, YU, ZA RW: AT, BE, BG				ZA,	ZM,	ZW											
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			IS,	ΙT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,
			CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	${ m ML}$ ,	MR,	ΝE,	SN,	TD,	ΤG,	BW,	GH,
			GM,	ΚE,	LS,	MW,	${ m MZ}$ ,	NΑ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑM,	ΑZ,	BY,
			KG,	KΖ,	MD,	RU,	ΤJ,	TM										
A	ΔU	2006	2432.	54		A1		2006	1109	i	AU 2	006-	2432	54		2	0060	426
C	CA	2605	895			A1		2006	1109	(	CA 2	006-	2605	895		2	0060	426
Ε	EP 1879891					A1		2008	0123	]	EP 2	006-	7548	65		2	0060	426
		R:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,
			IS,	IT,	LI,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	AL,
	BA, HR, MK,					K, YU												
PRIORI	ORITY APPLN. INFO.:				.:			EP 2005-103581				1	A 20050429					
										WO 2006-EP61850				1	W 2	0060	426	

AΒ The invention concerns A-Y-X-z-C(O)O-B (A is derived from ACO2H having antipyretic, analgesic, antiphlogistic and/or antiinflammatory properties; B is derived from HOB that are potassium competitive acid blockers; X =bond or linker (e.g. (un) substituted -(CH2)nOm(CH2)pOq(CH2)r (n = 1-7; m = 0, 1; p = 0-7; q = 0, 1; r = 0-7)); Y = -C(0)0- with A attached to the carbonyl carbon; z = bond, -O-, -CHR1- or -NR1- (R1 = H or C1-4 alkyl); or X, Y and z together form a bond; addnl. details including provisos are given in the claims; e.g. (S)-2-(6-methoxynaphthalen-2-yl) propionic acid 3-[[(7R,8R,9R)-2,3-dimethyl-7-(2-methoxyethoxy)-9-phenyl-7,8,9,10tetrahydroimidazo[1,2-h][1,7]naphthyridin-8-yl]oxy]carbonyl]propyl ester (shown as I)) and their salts. The compds. are prodrugs and exhibit in the human and/or animal body antipyretic, analgesic, antiphlogistic and/or antiinflammatory activity as well as gastric acid secretion inhibiting and therefore gastro and intestinal protective activity. Although the methods of preparation are not claimed, prepns. and/or characterization data for 23 examples of I and similar compds. are included. For example, I was prepared from (S)-2-(6-methoxynaphthalen-2-yl)propionic acid and 4-hydroxybutyric acid (7R, 8R, 9R) - 2, 3 - dimethyl - 7 - (2 - methoxyethoxy) - 9 - phenyl - 7, 8, 9, 10 tetrahydroimidazo[1,2-h][1,7]naphthyridin-8-yl ester in THF using DMAP and toluenesulfonyl chloride. Data are provided for the inhibition of gastric acid secretion by 2 examples of I or similar compds. and for inhibition of COX-1/2 by 11 examples of I or similar compds.

IT 248919-64-4, 2,3-Dimethyl-8-[(2,6-dimethylbenzyl)amino]-6-[N-(2-hydroxyethyl)aminocarbonyl]imidazo[1,2-a]pyridine RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of mutual prodrug compds. for use as antiinflammatory agents with gastrointestinal protective activity)

RN 248919-64-4 CAPLUS

CN Imidazo[1,2-a]pyridine-6-carboxamide, 8-[[(2,6-dimethylphenyl)methyl]amino]-N-(2-hydroxyethyl)-2,3-dimethyl- (CA INDEX NAME)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:570894 CAPLUS

DOCUMENT NUMBER: 143:83527

TITLE: Crystalline forms of 2,3-dimethyl-8-(2,6-

dimethylbenzylamino)-N-hydroxyethylimidazo[1,2-

a]pyridine-6-carboxamide mesylate salt

INVENTOR(S): Lilljequist, Lars; Lindkvist, Maria; Nordberg, Peter;

Pettersson, Ursula; Sebhatu, Tesfai

PATENT ASSIGNEE(S): Astrazeneca AB, Swed. SOURCE: PCT Int. Appl., 66 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PAT	ΓΕΝΤ	NO.			KIND DATE			APPLICATION NO.						DATE					
WO	2005	0588	 95		A1	_	2005	0630		 WO 2	004-	 SE19	 09		2	0041	 216		
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		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KΕ,	KG,	KP,	KR,	KΖ,	LC,		
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,		
		NO,	NΖ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,		
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		ΑZ,	BY,	KG,	KΖ,	MD,	RU,	ТJ,	TM, AT, BE, BG, CH,						CZ,	DE,	DK,		
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		,	,	SN,	,														
ΑU	2004	2994.	35		A1		2005	0630		AU 2	004-	2994.	35		2	0041	216		
CA	2549	144			A1		2005	0630	1	CA 2	004-	2549	144		20041216				
EΡ	1697	360			A1		2006	0906		EP 2	004-	8090	82		2	0041	216		
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		,	HR,	IS,	YU														
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	2004				Α						004-		•		20041216				
JР	2007	5147	44		Τ		2007								20041216				
	2006				А		2007			IN 2006-DN3006					20060525				
MX	2006	PA06	708		A		2006	0818		MX 2	2006-		2	0060	613				

US 20070112021 A1 20070517 US 2006-582838 20060614 NO 2006003309 A 20060914 NO 2006-3309 20060717 PRIORITY APPLN. INFO.: SE 2003-3451 A 20031218 WO 2004-SE1909 W 20041216

AB The present invention relates to novel crystalline forms of 2,3-dimethyl-8-(2,6-dimethylbenzylamino)-N-hydroxyethylimidazo[1,2-a]pyridine-6-carboxamide mesylate salt (I) and to mixture thereof. Further, the present invention also relates to processes for obtaining them, the use of the compds. for the treatment of gastrointestinal disorders, and pharmaceutical compns. containing them. 2,3-Dimethyl-8-(2,6-dimethylbenzylamino)-N-hydroxyethylimidazo[1,2-a]pyridine-6-carboxamide was treated with methanesulfonic acid in EtOH to give crystals of I Form A. The compound was characterized by x-ray crystallog.

IT 248919-64-4

RL: RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); RACT (Reactant or reagent); USES (Uses)

(crystalline forms of (dimethylbenzylamino)hydroxyethylimidazopyridinecarbox amide)

RN 248919-64-4 CAPLUS

CN Imidazo[1,2-a]pyridine-6-carboxamide, 8-[[(2,6-dimethylphenyl)methyl]amino]-N-(2-hydroxyethyl)-2,3-dimethyl- (CA INDEX NAME)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:409313 CAPLUS

DOCUMENT NUMBER: 142:457095

TITLE: Imidazo [1,2-a] pyridine derivatives for the treatment

of silent gastro-esophageal reflux

INVENTOR(S): Fernstroem, Paula; Hasselgren, Goeran

PATENT ASSIGNEE(S): Astrazeneca AB, Swed. SOURCE: PCT Int. Appl., 40 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

WO 2005041961 A1 20050512 WO 2004-SE1589 20041103

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,

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             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
             TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
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PRIORITY APPLN. INFO.:
                                             US 2003-517125P
                                                                 Ρ
                                                                    20031103
                                                                 W 20041103
                                             WO 2004-SE1589
OTHER SOURCE(S):
                         MARPAT 142:457095
```

GΙ

AB The present invention relates to a new method of treatment of sleep disturbance due to silent gastro-esophageal reflux. The invention further relates to the use of potassium-competitive acid blockers (P-CAB's) which inhibit the enzyme responsible for gastric acid secretion (H+/K+-ATPase). In particular, the present invention relates to the use of certain imidazo (1,2-a)pyridines derivs. (I wherein R1 = H, Me or Et: R2 = Me or Et; R3 and R4 = H, C1-6 alkyl, hydroxylated C1-6 alkyl or halogen; R5 = H or halogen; R6 and R7 = H, C1-6 alkyl, hydroxylated C1-6 alkyl or C1-6 alkoxy-substituted C1-6 alkyl and X = NH or O) in said treatment.

IT 248919-64-4

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

Ι

(imidazo[a]pyridine derivs. for treatment of silent gastro-esophageal reflux and sleep disturbances in relation to potassium-competitive acid secretion blockade)

RN 248919-64-4 CAPLUS

CN Imidazo[1,2-a]pyridine-6-carboxamide, 8-[[(2,6-dimethylphenyl)methyl]amino]-N-(2-hydroxyethyl)-2,3-dimethyl- (CA INDEX NAME)

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:1059201 CAPLUS

DOCUMENT NUMBER: 142:32977

TITLE: Pharmaceutical combinations of a proton pump inhibitor

and a compound which modifies gastrointestinal

motility

INVENTOR(S): Zimmermann, Peter Jan; Chiesa, M. Vittoria; Palmer,

Andreas; Brehm, Christof; Klein, Thomas;

Senn-Bilfinger, Joerg; Simon, Wolfgang-Alexander; Kromer, Wolfgang; Grundler, Gerhard; Hanauer, Guido;

Buhr, Wilm; Postius, Stefan

PATENT ASSIGNEE(S): Altana Pharma A.-G., Germany

SOURCE: PCT Int. Appl., 102 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

WO 2004105795	PATENT NO.					KIN	D DATE			APPLICATION NO.					DATE				
CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  AU 2004243444  A1 20041209 AU 2004-243444  A1 200401209 CA 2004-2526566  EP 1644043  R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR JP 2006528231  T 200601214 JP 2006-530222  20040526  MX 2005PA12463  A 20060130 MX 2005-PA12463  EQ 20040526  20040526	WO 20	004	1057	 95		A1		2004:	1209	1						2	0040	526	
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SN, TD, TG  AU 2004243444  A1 20041209  AU 2004-243444  CA 2526566  EP 1644043  R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR  JP 2006528231  T 20061214  JP 2006-530222  20040526  MX 2005PA12463  A 20060130  MX 2005-PA12463  C20040526			EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,	ΙT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,	
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R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR JP 2006528231	CA 25	526	566			A1		2004	1209	(	CA 2	004-	2526	566		2	0040	526	
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	JP 20	006	5282	31		Τ		2006	1214	ı	JP 2	006-	5302	22		2	0040	526	
US 20060241134 A1 20061026 US 2005-557414 20051118	MX 20	0051	PA12	463		Α		2006	0130	]	MX 2	005-	PA12	463		2	0051	118	
	US 20	006	0241	134		A1		2006	1026	1	US 2	005-	5574	14		2	0051	118	

NO 2005005968 A 20051215 NO 2005-5968 20051215
PRIORITY APPLN. INFO.: EP 2003-11875 A 20030527
EP 2004-102304 A 20040525
WO 2004-EP50936 W 20040526

AB The invention relates to the combination of certain active compds. from the acid pump antagonist class and compds. which modify gastrointestinal motility. The acid pump antagonist class is selected from a tricyclic imidazopyridine and the gastrointestinal motility modifier is selected from a 5-HT-(partial)-agonist/antagonist.

IT 248919-64-4

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (pharmaceutical combinations of proton pump inhibitor and modifier of gastrointestinal motility)

RN 248919-64-4 CAPLUS

CN Imidazo[1,2-a]pyridine-6-carboxamide, 8-[[(2,6-dimethylphenyl)methyl]amino]-N-(2-hydroxyethyl)-2,3-dimethyl- (CA INDEX NAME)

REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:913040 CAPLUS

DOCUMENT NUMBER: 139:375018

TITLE: Combinations containing proton pump inhibitors for the

treatment of airway disorders

INVENTOR(S): Hanauer, Guido; Kromer, Wolfgang; Postius, Stefan;

Simon, Wolfgang-Alexander Altana Pharma A.-G., Germany

SOURCE: PCT Int. Appl., 21 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Facenc

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT ASSIGNEE(S):

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
WO 2003094967 WO 2003094967	A2 2003112 A3 2004040		20030503
W: AE, AL, AU,	LT, LV, MA, MK	, CO, CU, DZ, EC, GE, , MX, NO, NZ, PH, PL,	
		, TJ, TM, AT, BE, BG,	CH, CY, CZ, DE,

DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR AU 2003227710 20031111 AU 2003-227710 Α1 20030503 Α1 CA 2484272 20031120 CA 2003-2484272 20030503 EP 1506016 20050216 EP 2003-725140 A2 20030503 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK BR 2003009808 Α 20050301 BR 2003-9808 20030503 CN 1652822 20050810 CN 2003-810400 20030503 JP 2005528418 Τ 20050922 JP 2004-503050 20030503 IN 2004MN00536 20050513 IN 2004-MN536 Α ZA 2004007896 20060628 ZA 2004-7896 Α 20040930 MX 2004PA11018 Α 20050125 MX 2004-PA11018 20041105 US 20050222193 Α1 20051006 US 2004-513598 20041105 NO 2004005343 20041206 NO 2004-5343 20041206 Α PRIORITY APPLN. INFO.: EP 2002-10305 20020507 Α WO 2003-EP4653 W 20030503

AB A method for treating airway disorders comprises a reversible proton pump inhibitor and an airway therapeutic to be taken simultaneously (as a fixed oral combination) or in succession (one directly after the other or else within a relatively large time span). The reversible proton pump inhibitor is, e.g., Soraprazan or its salt, and the airway therapeutic is, e.g., Ciclesonide.

IT 248919-64-4

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (oral combination of reversible proton pump inhibitors and airway therapeutics for treatment of airway disorders)

RN 248919-64-4 CAPLUS

CN Imidazo[1,2-a]pyridine-6-carboxamide, 8-[[(2,6-dimethylphenyl)methyl]amino]-N-(2-hydroxyethyl)-2,3-dimethyl- (CA INDEX NAME)

L3 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:637503 CAPLUS

DOCUMENT NUMBER: 137:190728

TITLE: Novel modified release formulation containing

carboxamide derivatives for inhibition of secretion of

gastric acid

INVENTOR(S): Juppo, Anne

PATENT ASSIGNEE(S): Astrazeneca Ab, Swed. SOURCE: PCT Int. Appl., 35 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PAT	TENT :	NO.			KIND DATE				APPLICATION NO.						D	DATE		
WO	2002	0641	 18				2002	0822		WO	2002	-SE22	 27		2	0020	208	
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BE	В, ВС	, BR,	BY,	BZ,	CA,	CH,	CN,	
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	ΕC	C, EE	E, ES,	FΙ,	GB,	GD,	GE,	GH,	
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE	Ξ, Κ	KP,	KR,	KΖ,	LC,	LK,	LR,	
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	M	۷, MV	, MX,	${ m MZ}$ ,	NO,	NΖ,	OM,	PH,	
		PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SF	K, SI	, TJ,	TM,	TN,	TR,	TT,	TZ,	
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		CY,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE	Ξ, ΙΊ	LU,	MC,	NL,	PT,	SE,	TR,	
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	1491	105			Α		2004	-		-		-8049				0020		
CN	1491	104			Α		2004					-8049				0020		
JP	2004	5187	08		Τ		2004					-5639				0020		
NZ	5269	93			А		2005					-5269				0020		
AT	2004 5269 3248 1368	71			Τ		2006					-7106				0020		
PT	1368	006			Τ		2006					-7106				0020		
	2261				Т3							-7106				0020		
	2003				A		2005			ZA	2003	-5944	1		2	0030		
	US 20040067252				A1		2004	0408				-467				0030	-	
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OTHER SOURCE(S): MARPAT 137:190728

Ι

GΙ

AB A multiparticulate (particle size < 300  $\mu m$ ), modified-release solid dispersion formulation comprises (i) a drug substance having a pH-dependent solubility, i.e., compound I (R1 = H, Me, Et; R2 = Me, Et; R3, R4

H, C1-6 alkyl, hydroxylated C1-6 alkyl, halogen; R5 = H, halogen; R6, R7 = H, C1-6 alkyl, hydroxylated C1-6 alkyl, C1-6 alkoxy-substituted C1-6 alkyl; X = NH, O) or a pharmaceutically acceptable salt thereof; (ii) a

hydrophobic matrix former which is a water-insol., non-swelling amphiphilic lipid; and (iii) a hydrophilic matrix former which is a meltable, water-soluble excipient. The weight ratio of hydrophobic matrix former/hydrophilic matrix former is  $\geq 1$  and the particle size is less than 300  $\mu m$ . Also a unit dosage form of the compound I, as well as a process for its preparation, and the use of the formulation and unit dosage form for inhibiting the secretion of gastric acid are described. For example, multiparticulate, modified-release formulation was prepared by dissolving 1 g of 2,3-dimethyl-8-(2-ethyl-6-methylbenzylamino)imidazo[1,2a]pyridine-6-carboxamide mesylate in a melt of 4 g myristic acid at 90° and adding 2 g of polyethylene glycol 4000 (PEG 4000) into the melt. The melted mixture was atomized at 90 $^{\circ}$  and the particles were collected into a vessel which was kept on ice. The resulted particles were spherical and  $< 300 \ \mu m$  in size. The amount of 3 g of particles were blended with 5.85 g microcryst. cellulose and 0.016 g sodium stearyl fumarate and compressed into 450 mg tablets. The dissoln. of tablets was 52-56% in 3 h.

IT 248919-64-4

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (controlled-release formulation containing imidazopyridine carboxamide derivs. for inhibition of gastric acid secretion)

RN 248919-64-4 CAPLUS

CN Imidazo[1,2-a]pyridine-6-carboxamide, 8-[[(2,6-dimethylphenyl)methyl]amino]-N-(2-hydroxyethyl)-2,3-dimethyl- (CA INDEX NAME)

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:185119 CAPLUS

DOCUMENT NUMBER: 136:249369

TITLE: Process for preparing a substituted imidazopyridine

compound

INVENTOR(S): Elman, Bjoern; Erback, Silke; Thiemermann, Eric

PATENT ASSIGNEE(S): Astrazeneca AB, Swed. SOURCE: PCT Int. Appl., 27 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

WO 2002020533							_													
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WO 2001-SE1897 W 20010905 US 2003-363806 A1 20030627  OTHER SOURCE(S): MARPAT 136:249369	US	2004	0039	013		A1		2004	0226		US	200	03-3	3638	06			20	030	627
WO 2001-SE1897 W 20010905 US 2003-363806 A1 20030627  OTHER SOURCE(S): MARPAT 136:249369	US	6900	324			В2		2005	0531											
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GI		OURCE	(S):			MARE	PAT	136:	2493	59										
	GI																			

AB Present invention provides a new process for large-scale preparation of substituted imidazopyridine compound of formula (I), wherein R1 = C1-6

alkoxy or NH2 group, comprising the step of reacting a compound of formula (II) with a 3-halo-2-butanone compound in cyclohexanone. Thus, 5.1 g 5,6-diaminonicotinic acid Me ester, 50 mL cyclohexanone, and 3.9 mL bromobutanone were agitated at  $100^{\circ}$  for 2.5 h to give Me 8-amino-2,3-dimethylimidazo[1,2-a]pyridine-6-carboxylate.

IT 248919-64-4P

RL: IMF (Industrial manufacture); PREP (Preparation)

(process for preparing a substituted imidazopyridine compound)

RN 248919-64-4 CAPLUS

CN Imidazo[1,2-a]pyridine-6-carboxamide, 8-[[(2,6-dimethylphenyl)methyl]amino]-N-(2-hydroxyethyl)-2,3-dimethyl- (CA INDEX NAME)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1999:708770 CAPLUS

DOCUMENT NUMBER: 131:322617

TITLE: Preparation of imidazopyridines which inhibit gastric

acid secretion

INVENTOR(S): Amin, Kosrat; Dahlstrom, Michael; Nordberg, Peter;

Starke, Ingemar

PATENT ASSIGNEE(S): Astra AB, Swed.

SOURCE: PCT Int. Appl., 77 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT NO.					KIND DATE			APPLICATION NO.						DATE				
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		DE,	DK,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	
		JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,	
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	RW:	GH,	GM,	ΚE,	LS,	MW,	SD,	SL,	SZ,	UG,	ZW,	ΑT,	BE,	CH,	CY,	DE,	DK,	
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	DE, DK, ES, FR, LV, FI, RO, MK,	GB, GR, IT, LI, LU,	NL, SE, MC, PT,
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OTHER SOURCE(S): GI	MARPAT 131:3226	1 /	
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Ι

The title compds. [I; R1 = H, Me, CH2OH; R2 = Me, Et; R3 = H, alkyl, halo, etc.; R4 = H, alkyl, halo, etc.; R5 = H, halo; R6, R7 = H, alkyl, hydroxylated alkyl, etc.; X = NH, O] which inhibit exogenously or endogenously stimulated gastric acid secretion (no data) and thus can be used in the prevention and treatment of gastrointestinal inflammatory diseases, and for treatment or prophylaxis of conditions involving infection by Helicobacter pylori of human gastric mucosa, were prepared Thus, reacting Et 2,3-dimethyl-8-(2-ethyl-6-methylbenzylamino)-imidazo[1,2-a]pyridine-6-carboxylate with propylamine in the presence of a cat. amount of NaCN in MeOH afforded 42% I [R1 = R2 = R4 = Me; R3 = Et; R5 = R7 = H; R6 = PP]. In general, compds. I are effective at 5-1000 mg/day.

IT 248919-64-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of imidazopyridines which inhibit gastric acid secretion)

RN 248919-64-4 CAPLUS

CN Imidazo[1,2-a]pyridine-6-carboxamide, 8-[[(2,6-dimethylphenyl)methyl]amino]-N-(2-hydroxyethyl)-2,3-dimethyl- (CA INDEX NAME)

Me 
$$CH_2$$
  $NH$   $NH$   $Me$   $CH_2-CH_2-NH-C$   $NH$   $Me$   $Me$   $NH$ 

5

REFERENCE COUNT:

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> FIL STNGUIDE COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST

49.53 110.05

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE TOTAL PNTRY SESSION

CA SUBSCRIBER PRICE

-7.20 -7.20

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FILE CONTAINS CURRENT INFORMATION. LAST RELOADED: May 9, 2008 (20080509/UP).

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LOGOFF? (Y)/N/HOLD:y

COST IN U.S. DOLLARS SINCE FILE

TOTAL ENTRY SESSION
0.30 110 25

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE TOTAL SESSION ENTRY

CA SUBSCRIBER PRICE

0.00 -7.20

STN INTERNATIONAL LOGOFF AT 18:29:01 ON 12 MAY 2008